

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 0000055378	FOR FURTHER ACTION	See item 4 below
International application No. PCT/EP2005/001757	International filing date (<i>day/month/year</i>) 19 February 2005 (19.02.2005)	Priority date (<i>day/month/year</i>) 26 February 2004 (26.02.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant BASF Aktiengesellschaft		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 *bis*.1(a).

2. This REPORT consists of a total of 10 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- | | | |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I | Basis of the report |
| <input type="checkbox"/> | Box No. II | Priority |
| <input type="checkbox"/> | Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> | Box No. IV | Lack of unity of invention |
| <input checked="" type="checkbox"/> | Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/> | Box No. VI | Certain documents cited |
| <input type="checkbox"/> | Box No. VII | Certain defects in the international application |
| <input type="checkbox"/> | Box No. VIII | Certain observations on the international application |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No. +41 22 338 82 70	Date of issuance of this report 04 October 2006 (04.10.2006) Authorized officer <p style="text-align: center; font-weight: bold;">Agnes Wittmann-Regis</p> e-mail: pt06@wipo.int
---	---

PATENT COOPERATION TREATY

TRANSLATION

PCT

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

From the
INTERNATIONAL SEARCHING AUTHORITY

To:

Date of mailing
(day/month/year)

See form PCT/ISA/210

Applicant's or agent's file reference

0000055378

FOR FURTHER ACTION

See paragraph 2 below

International application No.

PCT/EP2005/001757

International filing date (day/month/year)

19.02.2005

Priority date (day/month/year)

26.02.2004

International Patent Classification (IPC) or both national classification and IPC

A01N43/90

Applicant

BASF Aktiengesellschaft

1. This opinion contains indications relating to the following items:

- | | | |
|-------------------------------------|--------------|--|
| <input checked="" type="checkbox"/> | Box No. I | Basis of the opinion |
| <input type="checkbox"/> | Box No. II | Priority |
| <input type="checkbox"/> | Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> | Box No. IV | Lack of unity of invention |
| <input checked="" type="checkbox"/> | Box No. V | Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability: citations and explanations supporting such statement |
| <input type="checkbox"/> | Box No. VI | Certain documents cited |
| <input type="checkbox"/> | Box No. VII | Certain defects in the international application |
| <input type="checkbox"/> | Box No. VIII | Certain observations on the international application |

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/EP

Authorized officer

Facsimile No.

Telephone No.

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. 1 Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐

This opinion has been established on the basis of a translation from the original language into the following language

_____, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).

2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:

a. type of material

☐

a sequence listing

☐

table(s) related to the sequence listing

b. format of material

☐

in written format

☐

in computer readable form

c. time of filing/furnishing

☐

contained in the international application as filed.

☐

filed together with the international application in computer readable form.

☐

furnished subsequently to this Authority for the purposes of search.

3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.

4. Additional comments:

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V	Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability: citations and explanations supporting such statement		
1. Statement			
Novelty (N)	Claims	1-10	YES
	Claims		NO
Inventive step (IS)	Claims	1-10	YES
	Claims		NO
Industrial applicability (IA)	Claims	1-10	YES
	Claims		NO
2. Citations and explanations:			
Reference is made to the following citations (D1-D7) cited in the international search report:			
D1: EP-A-0 988 790			
D2: WO 98/46607 A			
D3: EP-A-0 276 666			
D4: US-B1-6 268 371			
D5: US-A-5 593 996			
D6: DATABASE WPI Section Ch, Week 198640 Derwent Publications Ltd., London, GB; Class C03, AN 1986-261491 & JP 61 189205 A (HOKKO			
D7: PATENT ABSTRACTS OF JAPAN vol. 010, 214 (C-362), 25 July 1986 (1986-07-25) & JP 61 053205 A			
Novelty			
The subject matter of claims 1-10 is novel (PCT Article 33(1) and (2)).			
Independent claim 1 provides fungicidal mixtures, especially for controlling rice pathogens, comprising dichlofluanid and a specific fungicidal triazolo- pyrimidine (referred to hereinafter as TP1) in a synergistically active amount. Claim 3 relates to			

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V

Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability:
citations and explanations supporting such statement

compositions which comprise these mixtures in addition to a carrier. The remaining independent claims 4, 9 and 10 are directed, respectively, to a method for controlling harmful fungi by means of such a mixture, to seed which comprises such a mixture and results from such a method, and to the use of the two components for producing compositions for controlling harmful fungi.

None of the citations mentioned discloses the specific mixtures which form the subject matter of the present application.

D1 discloses (see the passages cited in the international search report) synergistic mixtures of triazolo-pyrimidines of a general formula under which TP1 also falls with 22 other fungicides or fungicide classes, including captan, which has a certain similarity to dichlofluanid in structure and mode of action, but not dichlofluanid itself. The preferred azolopyrimidines A, B and C utilized in examples (referred to hereinafter as TPa, TPb and TPc) are the 6-(2-Cl-6-F-phenyl), the 7-(2,2,2-trifluoroethylamino) and the 7-(1,1,1-trifluoroprop-2-ylamino) analogues of TP1.

D2 discloses (see the passages cited in the international search report), *inter alia*, specifically the compound TP1 (example compound 2). The compound is compared to TPa with regard to its action against powdery mildew on grapes, and found to be superior. The possibility of mixing with other fungicides, among which dichlofluanid is also listed, under some circumstances to achieve a synergistic effect is mentioned but not realized.

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V

Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

D3 discloses (see the passages cited in the international search report) synergistic mixtures of an azole fungicide with dichlofluanid.

D4 discloses (see the passages cited in the international search report) synergistic mixtures of triazolo-pyrimidines including those known from D5 with melanin biosynthesis inhibitors such as carpropamid, pyroquilon and fenoxanil. These mixtures are effective especially against rice pathogens (*Pyricularia oryzae*, *Rhizoctonia solani* and *Cochliobolus miyabeanus*, which causes brown spot disease). The preferred triazolopyrimidines designated in D4 as azolopyrimidines A, C and D are TPa, TPb and TPc.

D5 discloses (see the passages cited in the international search report) particular fungicidal triazolopyrimidines, including TPa. The action against *Pyricularia oryzae* on rice is demonstrated (see D5, examples 225 and 226).

D6 discloses (see the passages cited in the international search report) synergistic mixtures of the industrial fungicide 2-bromo-2-bromomethylglutaronitrile with dichlofluanid for disinfecting seed.

D7 finally discloses (see the passages cited in the international search report) synergistic mixtures of the azole fungicide diniconazole with dichlofluanid.

Inventive step

The subject matter of claims 1-10 involves an inventive

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

step (PCT Article 33(1) and (3)).

In the light of the description and the closest prior art of citation D1, the problem addressed by the application can be considered that of providing synergistic mixtures of triazolopyrimidines with other fungicides, especially those which are suitable for controlling rice pathogens, i.e. which combine high systemic action with good activity against pathogens such as *Bipolaris* and *Drechslera* species and *Corticium sasakii*.

The proposed solution is characterized by the use of the specific triazolopyrimidine TP1 in combination with the known fungicide dichlofluanid, a respiration-inhibiting sulphonamide having an N-S-Hal₃ group which reacts unspecifically with thiol groups.

In view of the prior art detailed above, this combination is not an obvious solution to the problem.

D1 discloses mixtures of triazolopyrimidines of a general formula which encompasses not only TPa, TPb and TPC but also TP1 with other fungicides (see above). The synergistic mixtures are tested on a number of harmful fungi, such as species of the *Blumeria*, *Botrytis*, *Septoria*, *Erysiphe* and *Puccinia* genera, but not on one of the typical rice pathogens. The tests are also undertaken on various useful plants, such as wheat, barley, apples, cucumbers, tomatoes and grapes, but not on rice. The mixture of TPC and captan (see D1, example 25) which comes closest to the mixture proposed in the present application is tested against *Alternaria solani* on

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V

Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability:
citations and explanations supporting such statement

tomatoes.

D2 emphasizes that the 6-(2,4,6-trifluorophenyl)triazolopyrimidines disclosed there (for example TP1) have increased systemic action and fungitoxic action against rice pathogens (see D2, page 7 lines 9-11) compared to the triazolopyrimidines known from D5 (for example TPa and TPc). The good activity, especially of TP1, against *Pyricularia oryzae* (= *Pyricularia grisea* f. sp. *oryzae*, teleomorph: *Magnaporthe* gr. f. sp. *oryzae*) and *Rhizoctonia solani* is shown in examples (see D2, table II).

D2 also proposes a mixture with other fungicides, also including with dichlofluanid, which might possibly lead to a synergistic effect (see the passages of citation D2 cited in the search report).

D4 (see above) discloses synergistic mixtures of triazolopyrimidines, including TPa and TPc, with other fungicides significantly different from dichlofluanid, especially for controlling rice pathogens.

Citation D5 also discloses compounds of a general formula which encompasses not only TPa, TPb and TPc but also TP1 to be effective against rice pathogens; for example, the activity of TPa (compound 139 in D5) against *Pyricularia oryzae* is demonstrated by way of example there (see example 226).

In order, however, to arrive at the inventive combination proceeding from D1, it is necessary to replace one of the triazolopyrimidines preferred there, for example TPc,

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V

Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability:
citations and explanations supporting such statement

specifically with the TP1 mentioned in D2 in addition to other triazolopyrimidines. Over and above this, the captan used there would also have to be exchanged for dichlofluanid.

This selection is not obvious in view of the problem of providing especially compositions for controlling rice pathogens.

Dichlofluanid is not known to be particularly effective against such pathogens. Thus, D3 lists the phytopathogenic fungi for whose control these mixtures (see above) are especially suitable, which include *Rhizoctonia*, *Cochliobolus*, *Pyricularia oryzae* and *Pellicularia sasakii* (synonyms including *Corticium sasakii* and *Thanataphorus cucumeris*), but the mixtures are significantly tested against *Venturia* on apples and *Botrytis* on beans.

The mixtures in D6 are utilized in particular for the treatment of rice seed and exhibit action not just against the Bakanae disease of rice caused by *Gibberella fujikuvori*, but also against the "Helminthosporium" leaf spot disease. *H. oryzae* is synonymous with *Cochliobolus miyabeanus*, the cause of brown spot disease in rice. However, it is emphasized explicitly that neither of the two active substances is effective alone and dichlofluanid is generally used against grey mould and downy mildew.

A broad spectrum of activity is attributed to the mixtures in D7, which is contrasted with the limited

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

International application No.

PCT/EP2005/001757

Box No. V

Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement

spectrum of dichlofluanid. Although dichlofluanid is said to exhibit very good action against *Botrytis cinerea*, *Alternaria* leaf spot disease and downy mildew, it exhibits low action against powdery mildew and rust.

Above all, it could not be expected that the proposed mixtures would even, as shown in the application, exhibit a synergistically enhanced action against the rice pathogen *Corticium sasakii*.

The proposed solution of combining the triazolopyrimidine TP1 with dichlofluanid is therefore not obvious.

Industrial applicability

The subject matter of claims 1-10 is considered to be industrially applicable (PCT Article 33(1) and (4)).